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Oral compositions having improved absorption in the gastro-intestinal tract containing a polyglycolized glyceride

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Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
FR 2776189	A1		46	A61K-009/20	Div ex application FR 982143

Abstract (Basic): FR 2776189 A1

NOVELTY - Compositions for oral administration containing metformine or one of its salts as active ingredient, a gyglycolized glyceride having a hydrophilic-lipophilic balance (HLB) above 8 as absorption promoter, and one or more pharmaceutical excipients.

DETAILED DESCRIPTION - The active component is preferably metformine hydrochloride, and the polyglycolized glyceride has an HLB of 12 - 16. This is preferably used in association with any of the following: polysorbates, alkyl polyoxyethylene ethers, polyoxyethylene fatty acid esters, fatty acids, fatty alcohols, biliary acids and their salts, 1-6C alkanol fatty acid esters, polyol fatty acid esters, polyols with 2 - 6 hydroxy groups, and their mixtures. The use of fatty acid sorbitan esters is particularly preferred. The use of a mixture of one or more polyglycol glycerides and esters of sorbitan and a(n) (un)saturated 8-22C (especially 10-14C) fatty acid is especially preferred. The weight ratio of active material to absorption promoter is preferably 0.001 - 10, especially 0.1- 2. Also present may be a release-control agent chosen from glycerol palmitostearate, glycerol behenate and/ or hydrogenated ricin oil.

ACTIVITY - The biodispersibility of calcium acetyl homotaurinate (I) was measured in vivo using beagle dogs. These received either two units of 333 mg (I) in a conventional gastroresistant formulation, or one semi-solid formulation containing 500 mg (I). This formulation contained, 54% (I), 45% Gelucire 44/14(RTM; a polyglycolized glyceride); and 1% soya lecithin. The biodispersibility was calculated from the reference dose times plasmatic concentration divided by dose of composition

under test times plasmatic concentration. Calculating the reference formulation as 100% biodispersibility, the composition under test had a biodispersibility of 138%.

MECHANISM OF ACTION - The materials act on the surface tension of biological fluids affecting membrane contacts on gastro-intestinal mucosal cells.

USE - Improved absorption of medicaments administered orally, both on immediate liberation and on prolonged liberation .

ADVANTAGE - The compounds show improved absorption by the transmembrane or paracellular route in the gastro-intestinal tract.

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Title Terms: ORAL; COMPOSITION; IMPROVE; ABSORB; GASTRO; INTESTINAL; TRACT;
CONTAIN; GLYCERIDE

Derwent Class: A28; A96; B05; B07

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